

A method is disclosed for determining whether a compound binds to a lipoprotein such as LDL or VLDL in a manner which will lower plasma cholesterol is provided that includes assessing the ability of the compound to form a complex with the lipoprotein, e.g., LDL or VLDL, and then determining whether the newly formed complex causes a change in the structure of apoB-100 that results in increased binding affinity to the LDL receptor. Also disclosed is a method for lowering cholesterol in a host in need thereof, including a human, is provided that includes the administration of an effective amount of a compound which binds to cholesterol-carrying lipoprotein (e.g. LDL or VLDL) in a manner that alters the three dimensional configuration of the lipoprotein and increases the binding affinity of the apoB-100 protein to the LDL receptor, including those on the surface of a hepatic cell.